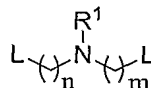


1 We claim:

2 1. A compound represented by A:



3  
4 A

5 wherein, independently for each occurrence,

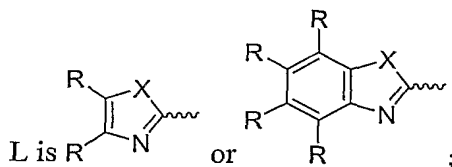
6 R<sup>1</sup> is H, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl,  
7 aryl, heteroaryl, aralkyl, heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl,  
8 (amino)alkoxycarbonyl, (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,  
9 (hydroxy)alkylaminocarbonyl, -CO<sub>2</sub>H, -(CH<sub>2</sub>)<sub>d</sub>-R<sub>80</sub>, or an amino acid radical;

10 R<sub>80</sub> is carboxaldehyde, carboxylate, carboxamido, alkoxycarbonyl, aryloxycarbonyl,  
11 ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl,  
12 amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or a  
13 ligand for a G-protein-coupled receptor;

14 d is an integer in the range 0 to 12 inclusive;

15 m is an integer in the range 0 to 6 inclusive;

16 n is an integer in the range 0 to 6 inclusive;



18 X is -N(R<sup>2</sup>)-, -O-, or -S-;

19 R is selected from the group consisting of hydrogen, halogen, alkyl, alkenyl, alkynyl,  
20 hydroxyl, alkoxy, acyl, acyloxy, acylamino, silyloxy, amino, nitro, sulfhydryl,  
21 alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl,  
22 carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl,  
23 ketone, aldehyde, ester, heteroalkyl, cyano, guanidine, amidine, acetal, ketal, amine  
24 oxide, aryl, heteroaryl, aralkyl, heteroaralkyl, azido, aziridine, carbamoyl, epoxide,

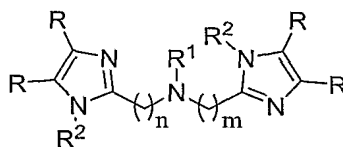
1 hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea,  
2 thiourea, and  $-(CH_2)_d-R_{80}$ ; and

3  $R^2$  is hydrogen or a lipophilic group.

4 2. The compound of claim 1, wherein said compound is complexed with a  
5 radionuclide.

6 3. The compound of claim 1, wherein said compound is complexed with a  
7 radionuclide, wherein said radionuclide is technetium or rhenium.

8 4. A compound represented by **B**:



10 **B**

11 wherein, independently for each occurrence,

12  $R^1$  is H, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl,  
13 aryl, heteroaryl, aralkyl, heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl,  
14 (amino)alkoxycarbonyl, (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,  
15 (hydroxy)alkylaminocarbonyl,  $-CO_2H$ ,  $-(CH_2)_d-R_{80}$ , or an amino acid radical;

16  $R_{80}$  is carboxaldehyde, carboxylate, carboxamido, alkoxyalkyl, aryloxyalkyl,  
17 ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl,  
18 amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or a  
19 ligand for a G-protein-coupled receptor;

20 d is an integer in the range 0 to 12 inclusive;

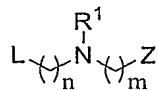
21 m is an integer in the range 0 to 6 inclusive;

22 n is an integer in the range 0 to 6 inclusive;

23 R is selected from the group consisting of hydrogen, halogen, alkyl, alkenyl, alkynyl,  
24 hydroxyl, alkoxy, acyl, acyloxy, acylamino, silyloxy, amino, nitro, sulfhydryl,  
25 alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl,  
26 carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl,  
27 ketone, aldehyde, ester, heteroalkyl, cyano, guanidine, amidine, acetal, ketal, amine

- 1 oxide, aryl, heteroaryl, aralkyl, heteroaralkyl, azido, aziridine, carbamoyl, epoxide,  
2 hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea,  
3 thiourea, and  $-(CH_2)_d-R_{80}$ ; and  
4  $R^2$  is hydrogen or a lipophilic group.
- 5 5. The compound of claim 4, wherein said compound is complexed with a  
6 radionuclide.
- 7 6. The compound of claim 4, wherein said compound is complexed with a  
8 radionuclide, wherein said radionuclide is technetium or rhenium.
- 9 7. The compound of claim 4, wherein m is 1.
- 10 8. The compound of claim 4, wherein n is 1.
- 11 9. The compound of claim 4, wherein m is 1; and n is 1.
- 12 10. The compound of claim 4, wherein R is hydrogen.
- 13 11. The compound of claim 4, wherein  $R^2$  is a lipophilic group.
- 14 12. The compound of claim 4, wherein  $R^2$  is an ether, aralkyl or alkylaryl.
- 15 13. The compound of claim 4, wherein R is hydrogen; and  $R^2$  is an ether, aralkyl or  
16 alkylaryl.
- 17 14. The compound of claim 4, wherein m is 1; n is 1; R is hydrogen; and  $R^2$  is an ether,  
18 aralkyl or alkylaryl.
- 19 15. The compound of claim 4, wherein  $R^1$  is  $-(CH_2)_d-R_{80}$ .
- 20 16. The compound of claim 4, wherein m is 1; n is 1; R is hydrogen;  $R^2$  is an ether,  
21 aralkyl or alkylaryl; and  $R^1$  is  $-(CH_2)_d-R_{80}$ .
- 22 17. The compound of claim 4, wherein m is 1; n is 1; R is hydrogen;  $R^2$  is an ether,  
23 aralkyl or alkylaryl; and  $R^1$  is  $-(CH_2)_d-R_{80}$ ; wherein said compound is complexed  
24 with a radionuclide.
- 25 18. The compound of claim 4, wherein m is 1; n is 1; R is hydrogen;  $R^2$  is an ether,  
26 aralkyl or alkylaryl; and  $R^1$  is  $-(CH_2)_d-R_{80}$ ; wherein said compound is complexed  
27 with a radionuclide, wherein said radionuclide is technetium or rhenium.
- 28 19. The compound of claim 4, wherein  $R^1$  is an amino acid radical.

- 1     20.     The compound of claim 4, wherein  $R^1$  is an amino acid radical; m is 1; and n is 1.
- 2     21.     The compound of claim 4, wherein  $R^1$  is an amino acid radical; m is 1; n is 1; and  
3              $R^2$  is an ether, aralkyl or alkylaryl.
- 4     22.     The compound of claim 4, wherein  $R^1$  is an amino acid radical; m is 1; n is 1; R is  
5             hydrogen; and  $R^2$  is an ether, aralkyl or alkylaryl; wherein said compound is  
6             complexed with a radionuclide.
- 7     23.     The compound of claim 4, wherein  $R^1$  is an amino acid radical; m is 1; n is 1; R is  
8             hydrogen; and  $R^2$  is an ether, aralkyl or alkylaryl; wherein said compound is  
9             complexed with a radionuclide, wherein said radionuclide is technetium or rhenium.
- 10    24.     The compound of claim 4, wherein the amino acid radical is  
11              $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}(\text{NH}_2)\text{CO}_2\text{H}$ .
- 12    25.     The compound of claim 4, wherein the amino acid radical is  
13              $-\text{CH}(\text{CO}_2\text{H})\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{NH}_2$ .
- 14    26.     The compound of claim 4, wherein the amino acid radical is  $-\text{CH}_2\text{CH}_2\text{CO}_2\text{H}$ .
- 15    27.     The compound of claim 4, wherein the amino acid radical is  
16              $-\text{CH}(\text{CO}_2\text{H})(\text{CH}_2)_x\text{CH}(\text{NH}_2)\text{CO}_2\text{H}$ , wherein x is an integer from 3 to 9 inclusively.
- 17    28.     A compound represented by C:



C

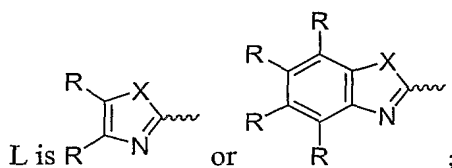
- 20             wherein, independently for each occurrence,
- 21             Z is thioalkyl, carboxylate, 2-(carboxy)aryl, 2-(carboxy)heteroaryl, 2-(hydroxy)aryl,  
22             2-(hydroxy)heteroaryl, 2-(thiol)aryl, or 2-(thiol)heteroaryl; and
- 23              $R^1$  is H, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl,  
24             aryl, heteroaryl, aralkyl, heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl,  
25             (amino)alkoxycarbonyl, (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,  
26             (hydroxy)alkylaminocarbonyl,  $-\text{CO}_2\text{H}$ ,  $-(\text{CH}_2)_d\text{-R}_{80}$ , or an amino acid radical;
- 27              $\text{R}_{80}$  is carboxaldehyde, carboxylate, carboxamido, alkoxy carbonyl, aryloxy carbonyl,  
28             ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl,

amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or a ligand for a G-protein-coupled receptor;

d is an integer in the range 0 to 12 inclusive;

m is an integer in the range 0 to 6 inclusive;

n is an integer in the range 0 to 6 inclusive;



X is -N(R<sup>2</sup>)-, -O-, or -S-;

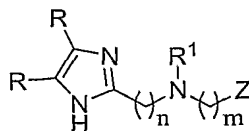
R is selected from the group consisting of hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxy, acyl, acyloxy, acylamino, silyloxy, amino, nitro, sulfhydryl, alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, cyano, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, aralkyl, heteroaralkyl, azido, aziridine, carbamoyl, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, and -(CH<sub>2</sub>)<sub>d</sub>-R<sub>80</sub>; and

R<sup>2</sup> is hydrogen or a lipophilic group.

29. The compound of claim 28, wherein said compound is complexed with a radionuclide.

30. The compound of claim 28, wherein said compound is complexed with a radionuclide, wherein said radionuclide is technetium or rhenium.

31. A compound represented by **D**:



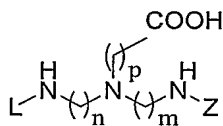
**D**

wherein, independently for each occurrence,

- 1 Z is thioalkyl, carboxylate, 2-(carboxy)aryl, 2-(carboxy)heteroaryl, 2-(hydroxy)aryl,  
2 2-(hydroxy)heteroaryl, 2-(thiol)aryl, or 2-(thiol)heteroaryl; and
- 3  $R^1$  is H, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl,  
4 aryl, heteroaryl, aralkyl, heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl,  
5 (amino)alkoxycarbonyl, (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,  
6 (hydroxy)alkylaminocarbonyl,  $-CO_2H$ ,  $-(CH_2)_d-R_{80}$ , or an amino acid radical;
- 7  $R_{80}$  is carboxaldehyde, carboxylate, carboxamido, alkoxy carbonyl, aryloxy carbonyl,  
8 ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl,  
9 amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or a  
10 ligand for a G-protein-coupled receptor;
- 11 d is an integer in the range 0 to 12 inclusive;
- 12 m is an integer in the range 0 to 6 inclusive;
- 13 n is an integer in the range 0 to 6 inclusive; and
- 14 R is selected from the group consisting of hydrogen, halogen, alkyl, alkenyl, alkynyl,  
15 hydroxyl, alkoxy, acyl, acyloxy, acylamino, silyloxy, amino, nitro, sulfhydryl,  
16 alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl,  
17 carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl,  
18 ketone, aldehyde, ester, heteroalkyl, cyano, guanidine, amidine, acetal, ketal, amine  
19 oxide, aryl, heteroaryl, aralkyl, heteroaralkyl, azido, aziridine, carbamoyl, epoxide,  
20 hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea,  
21 thiourea, and  $-(CH_2)_d-R_{80}$ .
- 22 32. The compound of claim 31, wherein said compound is complexed with a  
23 radionuclide.
- 24 33. The compound of claim 31, wherein said compound is complexed with a  
25 radionuclide, wherein said radionuclide is technetium or rhenium.
- 26 34. The compound of claim 31, wherein Z is carboxylate.
- 27 35. The compound of claim 31, wherein m is 1.
- 28 36. The compound of claim 31, wherein n is 1.
- 29 37. The compound of claim 31, wherein m is 1; and n is 1.

- 1 38. The compound of claim 31, wherein Z is carboxylate; m is 1; and n is 1.
- 2 39. The compound of claim 31, wherein R is hydrogen.
- 3 40. The compound of claim 31, wherein Z is carboxylate; m is 1; n is 1; and R is  
4 hydrogen.
- 5 41. The compound of claim 31, wherein  $R^1$  is  $-(CH_2)_d-R_{80}$ .
- 6 42. The compound of claim 31, wherein Z is carboxylate; m is 1; n is 1; R is hydrogen;  
7 and  $R^1$  is  $-(CH_2)_d-R_{80}$ .
- 8 43. The compound of claim 31, wherein Z is carboxylate; m is 1; n is 1; R is hydrogen;  
9 and  $R^1$  is  $-(CH_2)_d-R_{80}$ ; wherein said compound is complexed with a radionuclide.
- 10 44. The compound of claim 31, wherein Z is carboxylate; m is 1; n is 1; R is hydrogen;  
11 and  $R^1$  is  $-(CH_2)_d-R_{80}$ ; wherein said compound is complexed with a radionuclide,  
12 wherein said radionuclide is technetium or rhenium.
- 13 45. The compound of claim 31, wherein  $R^1$  is an amino acid radical.
- 14 46. The compound of claim 31, wherein  $R^1$  is an amino acid radical; m is 1; and n is 1.
- 15 47. The compound of claim 31, wherein  $R^1$  is an amino acid radical; m is 1; n is 1; and  
16 R is hydrogen.
- 17 48. The compound of claim 31, wherein  $R^1$  is an amino acid radical; m is 1; n is 1; and  
18 R is hydrogen; wherein said compound is complexed with a radionuclide.
- 19 49. The compound of claim 31, wherein  $R^1$  is an amino acid radical; m is 1; n is 1; and  
20 R is hydrogen; wherein said compound is complexed with a radionuclide, wherein  
21 said radionuclide is technetium or rhenium.
- 22 50. The compound of claim 31, wherein the amino acid radical is  
23  $-CH_2CH_2CH_2CH_2CH(NH_2)CO_2H$ .
- 24 51. The compound of claim 31, wherein the amino acid radical is  
25  $-CH(CO_2H)CH_2CH_2CH_2CH_2NH_2$ .
- 26 52. The compound of claim 31, wherein the amino acid radical is  $-CH_2CH_2CO_2H$ .
- 27 53. The compound of claim 31, wherein the amino acid radical is  
28  $-CH(CO_2H)(CH_2)_xCH(NH_2)CO_2H$ , wherein x is an integer from 3 to 9 inclusively.

1 54. A compound represented by **E**:



3 **E**

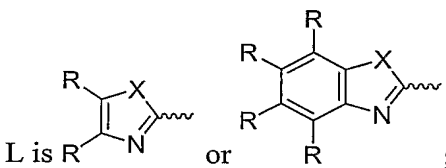
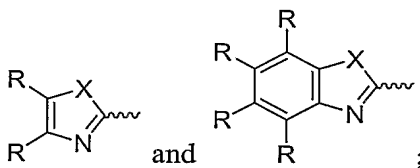
4 wherein, independently for each occurrence,

5 m is an integer in the range 0 to 6 inclusive;

6 n is an integer in the range 0 to 6 inclusive;

7 p is an integer in the range of 1 to 10 inclusive;

8 Z is selected from the group consisting of  $-\text{CH}_2\text{COOH}$ , alkyl, aryl, aralkyl,



11 each instance of X is  $-\text{N}(\text{R}^2)-$ ,  $-\text{O}-$ , or  $-\text{S}-$ ;

12  $\text{R}^2$  is hydrogen or a lipophilic group;

13 R is selected from the group consisting of halogen, alkyl, alkenyl, alkynyl, hydroxyl,  
14 alkoxyl, acyl, acyloxy, acylamino, silyloxy, amino, nitro, sulfhydryl, alkylthio,  
15 imino, amido, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl,  
16 carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl,  
17 ketone, aldehyde, ester, heteroalkyl, cyano, guanidine, amidine, acetal, ketal, amine  
18 oxide, aryl, heteroaryl, aralkyl, heteroaralkyl, azido, aziridine, carbamoyl, epoxide,  
19 hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea,  
20 thiourea, and  $-(\text{CH}_2)_d-\text{R}_{80}$ ;

21  $\text{R}_{80}$  is carboxaldehyde, carboxylate, carboxamido, alkoxycarbonyl, aryloxy carbonyl,  
22 ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl,

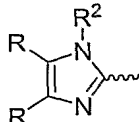


amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or a ligand for a G-protein-coupled receptor; and

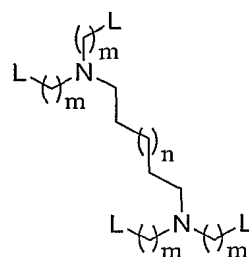
d is an integer in the range 0 to 12 inclusive.

55. The compound of claim 54, wherein said compound is complexed with a radionuclide.

56. The compound of claim 54, wherein said compound is complexed with a radionuclide, wherein said radionuclide is technetium or rhenium.

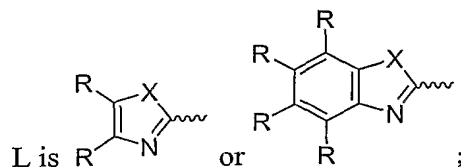
57. The compound of claim 54, wherein L is ; R is hydrogen; R<sup>2</sup> is hydrogen; and Z is alkyl.

58. A compound of formula **F**:



**F**

wherein, independently for each occurrence,



X is -N(R<sup>2</sup>)-, -O-, or -S-;

R is halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxy, acyl, acyloxy, acylamino, silyloxy, amino, nitro, sulfhydryl, alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, cyano, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, aralkyl, heteroaralkyl, azido, aziridine, carbamoyl, epoxide, hydroxamic acid, imide, oxime,

sulfonamide, thioamide, thiocarbamate, urea, thiourea, or  $-(CH_2)_d-R_{80}$ ;

$R_{80}$  is carboxaldehyde, carboxylate, carboxamido, alkoxy carbonyl, aryloxy carbonyl, ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl, amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or ligand for a G-protein-coupled receptor;

$R_2$  is H or a lipophilic group;

$d$  is an integer in the range 0 to 12 inclusive;

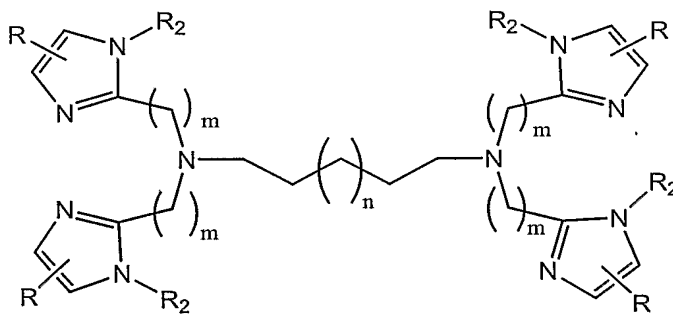
$m$  is an integer in the range 0 to 6 inclusive; and

$n$  is an integer in the range 0 to 6 inclusive.

59. The compound of claim 58, wherein the compound is complexed with a radionuclide.

60. The compound of claim 58, wherein the compound is complexed with a radionuclide, wherein the radionuclide is technetium or rhenium.

61. A compound of formula **G**:



**G**

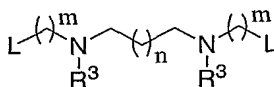
wherein, independently for each occurrence,

$R$  is absent or present 1 or 2 times;

$R$  is halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, acyl, acyloxy, acylamino, silyloxy, amino, nitro, sulfhydryl, alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, cyano, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, aralkyl, heteroaralkyl, azido, aziridine, carbamoyl, epoxide, hydroxamic acid, imide, oxime,

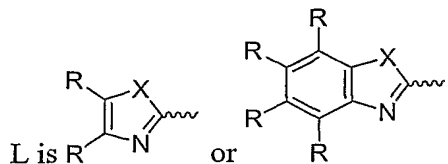
- 1 sulfonamide, thioamide, thiocarbamate, urea, thiourea, or  $-(\text{CH}_2)_d\text{-R}_{80}$ ;  
2  $\text{R}_{80}$  is carboxaldehyde, carboxylate, carboxamido, alkoxycarbonyl, aryloxy carbonyl,  
3 ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl,  
4 amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or ligand  
5 for a G-protein-coupled receptor;  
6  $\text{R}_2$  is H or a lipophilic group;  
7 d is an integer in the range 0 to 12 inclusive;  
8 m is an integer in the range 0 to 6 inclusive; and  
9 n is an integer in the range 0 to 6 inclusive.
- 10 62. The compound of claim 61, wherein the compound is complexed with a  
11 radionuclide.
- 12 63. The compound of claim 61, wherein the compound is complexed with a  
13 radionuclide, wherein the radionuclide is technetium or rhenium.
- 14 64. The compound of claim 61, wherein m is 1.
- 15 65. The compound of claim 61, wherein n is 1.
- 16 66. The compound of claim 61, wherein m is 1; and n is 1.
- 17 67. The compound of claim 61, wherein R is absent.
- 18 68. The compound of claim 61, wherein  $\text{R}_2$  is a lipophilic group.
- 19 69. The compound of claim 61, wherein  $\text{R}_2$  is an ether, aralkyl, or alkylaryl.
- 20 70. The compound of claim 61, wherein R is absent; and  $\text{R}_2$  is an ether, aralkyl, or  
21 alkylaryl.
- 22 71. The compound of claim 61, wherein m is 1; n is 1; R is absent; and  $\text{R}_2$  is an ether,  
23 aralkyl, or alkylaryl.
- 24 72. The compound of claim 61, wherein m is 1; n is 1; R is absent; and  $\text{R}_2$  is an ether,  
25 aralkyl, or alkylaryl; wherein the compound is complexed with a radionuclide.
- 26 73. The compound of claim 61, wherein m is 1; n is 1; R is absent; and  $\text{R}_2$  is an ether,  
27 aralkyl, or alkylaryl; wherein the compound is complexed with a radionuclide,  
28 wherein said radionuclide is technetium or rhenium.

1 74. A compound of formula **H**:



3 **H**

4 wherein, independently for each occurrence,



6 X is -N(R<sup>2</sup>)-, -O-, or -S-;

7 R is halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxy, acyl, acyloxy, acylamino,  
8 silyloxy, amino, nitro, sulfhydryl, alkylthio, imino, amido, phosphoryl, phosphonate,  
9 phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl,  
10 alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, cyano,  
11 guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, aralkyl,  
12 heteroaralkyl, azido, aziridine, carbamoyl, epoxide, hydroxamic acid, imide, oxime,  
13 sulfonamide, thioamide, thiocarbamate, urea, thiourea, or -(CH<sub>2</sub>)<sub>d</sub>-R<sub>80</sub>;

14 R<sub>80</sub> is independently for each occurrence carboxaldehyde, carboxylate, carboxamido,  
15 alkoxy carbonyl, aryloxy carbonyl, ammonium, aryl, heteroaryl, cycloalkyl,  
16 cycloalkenyl, heterocyclyl, polycyclyl, amino acid, peptide, saccharide, ribonucleic  
17 acid, (deoxy)ribonucleic acid, or ligand for a G-protein-coupled receptor;

18 R<sub>2</sub> is H or a lipophilic group;

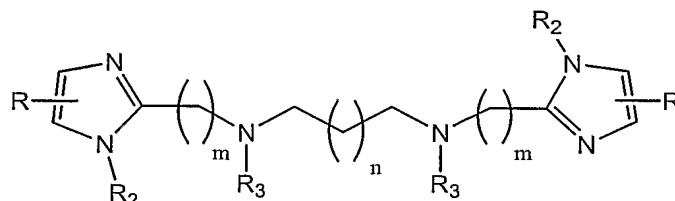
19 R<sub>3</sub> is a moiety comprising a neutral or anionic Lewis base, H, alkyl, hydroxyalkyl,  
20 alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl,  
21 heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl, (amino)alkoxycarbonyl,  
22 (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,  
23 (hydroxy)alkylaminocarbonyl, -CO<sub>2</sub>H, -(CH<sub>2</sub>)<sub>d</sub>-R<sub>80</sub>, or an amino acid radical;

24 d is an integer in the range 0 to 12 inclusive;

25 m is an integer in the range 0 to 6 inclusive; and

26 n is an integer in the range 0 to 6 inclusive.

- 1 75. The compound of claim 74, wherein the compound is complexed with a  
 2 radionuclide.
- 3 76. The compound of claim 74, wherein the compound is complexed with a  
 4 radionuclide, wherein the radionuclide is technetium or rhenium.
- 5 77. A compound of formula I:



I

- 8 wherein, independently for each occurrence,
- 9 R is absent or present 1 or 2 times;
- 10 R is halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxy, acyl, acyloxy, acylamino,  
 11 silyloxy, amino, nitro, sulfhydryl, alkylthio, imino, amido, phosphoryl, phosphonate,  
 12 phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl,  
 13 alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, cyano,  
 14 guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, aralkyl,  
 15 heteroaralkyl, azido, aziridine, carbamoyl, epoxide, hydroxamic acid, imide, oxime,  
 16 sulfonamide, thioamide, thiocarbamate, urea, thiourea, or  $-(CH_2)_d-R_{80}$ ;
- 17  $R_{80}$  is carboxaldehyde, carboxylate, carboxamido, alkoxycarbonyl, aryloxy carbonyl,  
 18 ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl,  
 19 amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or ligand  
 20 for a G-protein-coupled receptor;
- 21  $R_2$  is H or a lipophilic group;
- 22  $R_3$  is a moiety comprising a neutral or anionic Lewis base, H, alkyl, hydroxyalkyl,  
 23 alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl,  
 24 heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl, (amino)alkoxycarbonyl,  
 25 (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,  
 26 (hydroxy)alkylaminocarbonyl,  $-CO_2H$ ,  $-(CH_2)_d-R_{80}$ , or an amino acid radical;

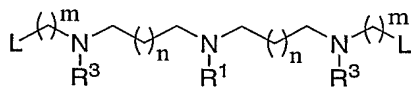
- 1           d is an integer in the range 0 to 12 inclusive;
- 2           m is an integer in the range 0 to 6 inclusive; and
- 3           n is an integer in the range 0 to 6 inclusive.
- 4    78.    The compound of claim 77, wherein the compound is complexed with a
- 5           radionuclide.
- 6    79.    The compound of claim 77, wherein the compound is complexed with a
- 7           radionuclide, wherein the radionuclide is technetium or rhenium.
- 8    80.    The compound of claim 77, wherein m is 1.
- 9    81.    The compound of claim 77, wherein n is 1.
- 10   82.    The compound of claim 77, wherein m is 1; and n is 1.
- 11   83.    The compound of claim 77, wherein R is absent.
- 12   84.    The compound of claim 77, wherein R<sub>2</sub> is a lipophilic group.
- 13   85.    The compound of claim 77, wherein R<sub>2</sub> is an ether, aralkyl, or alkylaryl.
- 14   86.    The compound of claim 77, wherein R<sub>3</sub> is a moiety comprising an anionic Lewis
- 15           base.
- 16   87.    The compound of claim 77, wherein R<sub>3</sub> is a carboxylate, thiolate, or phenolate.
- 17   88.    The compound of claim 77, wherein R is absent; and R<sub>2</sub> is an ether, aralkyl, or
- 18           alkylaryl.
- 19   89.    The compound of claim 77, wherein R is absent; R<sub>2</sub> is an ether, aralkyl, or alkylaryl;
- 20           and R<sub>3</sub> is a carboxylate, thiolate, or phenolate.
- 21   90.    The compound of claim 77, wherein m is 1; n is 1; R is absent; and R<sub>2</sub> is an ether,
- 22           aralkyl, or alkylaryl.
- 23   91.    The compound of claim 77, wherein m is 1; n is 1; R is absent; R<sub>2</sub> is an ether,
- 24           aralkyl, or alkylaryl; and R<sub>3</sub> is a carboxylate, thiolate, or phenolate.
- 25   92.    The compound of claim 77, wherein m is 1; n is 1; R is absent; and R<sub>2</sub> is an ether,
- 26           aralkyl, or alkylaryl; wherein said compound is complexed with a radionuclide.
- 27   93.    The compound of claim 77, wherein m is 1; n is 1; R is absent; R<sub>2</sub> is an ether,

1 aralkyl, or alkylaryl; and R<sub>3</sub> is a carboxylate, thiolate, or phenolate; wherein the  
2 compound is complexed with a radionuclide.

3 94. The compound of claim 77, wherein m is 1; n is 1; R is absent; and R<sub>2</sub> is an ether,  
4 aralkyl, or alkylaryl; wherein the compound is complexed with a radionuclide,  
5 wherein the radionuclide is technetium or rhenium.

6 95. The compound of claim 77, wherein m is 1; n is 1; R is absent; R<sub>2</sub> is an ether,  
7 aralkyl, or alkylaryl; and R<sub>3</sub> is a carboxylate, thiolate, or phenolate; wherein the  
8 compound is complexed with a radionuclide, wherein the radionuclide is technetium  
9 or rhenium.

10 96. A compound of formula J:

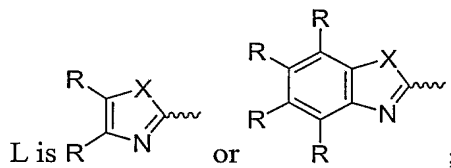


12 **J**

13 wherein, independently for each occurrence,

14 n is an integer in the range 0 to 6 inclusive;

15 m is an integer in the range 0 to 6 inclusive;



17 X is -N(R<sup>2</sup>)-, -O-, or -S-;

18 R<sub>1</sub> is H, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl,  
19 aryl, heteroaryl, aralkyl, heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl,  
20 (amino)alkoxycarbonyl, (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,  
21 (hydroxy)alkylaminocarbonyl, -CO<sub>2</sub>H, -(CH<sub>2</sub>)<sub>4</sub>-R<sub>80</sub>, or an amino acid radical;

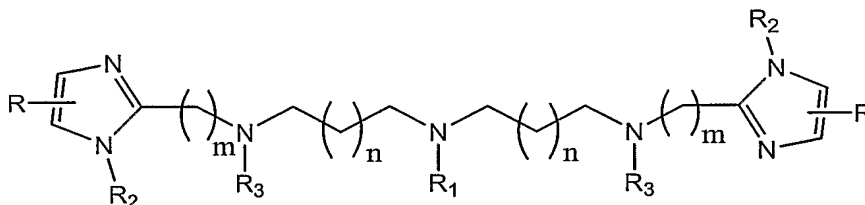
22 R<sub>3</sub> is a moiety comprising a neutral or anionic Lewis base, H, alkyl, hydroxyalkyl,  
23 alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl,  
24 heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl, (amino)alkoxycarbonyl,  
25 (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,  
26 (hydroxy)alkylaminocarbonyl, -CO<sub>2</sub>H, -(CH<sub>2</sub>)<sub>4</sub>-R<sub>80</sub>, or an amino acid radical; and

$R_{80}$  represents independently for each occurrence carboxaldehyde, carboxylate, carboxamido, alkoxycarbonyl, aryloxycarbonyl, ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl, amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or ligand for a G-protein-coupled receptor.

97. A compound of formula 96, wherein the compound is complexed with a radionuclide.

98. The compound of claim 96, wherein the compound is complexed with a radionuclide, wherein the radionuclide is technetium or rhenium.

99. A compound of formula **K**:



**K**

wherein, independently for each occurrence,

R is absent or present 1 or 2 times;

R is halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxy, acyl, acyloxy, acylamino, silyloxy, amino, nitro, sulfhydryl, alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, cyano, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, aralkyl, heteroaralkyl, azido, aziridine, carbamoyl, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or  $-(CH_2)_d-R_{80}$ ;

$R_{80}$  is carboxaldehyde, carboxylate, carboxamido, alkoxycarbonyl, aryloxycarbonyl, ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl, amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or ligand for a G-protein-coupled receptor;

$R_1$  is H, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl,



- 1 aryl, heteroaryl, aralkyl, heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl,  
2 (amino)alkoxycarbonyl, (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,  
3 (hydroxy)alkylaminocarbonyl,  $-\text{CO}_2\text{H}$ ,  $-(\text{CH}_2)_d\text{-R}_{80}$ , or an amino acid radical;  
4  $\text{R}_2$  is H or a lipophilic group;  
5  $\text{R}_3$  is a moiety comprising a neutral or anionic Lewis base, H, alkyl, hydroxyalkyl,  
6 alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl,  
7 heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl, (amino)alkoxycarbonyl,  
8 (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,  
9 (hydroxy)alkylaminocarbonyl,  $-\text{CO}_2\text{H}$ ,  $-(\text{CH}_2)_d\text{-R}_{80}$ , or an amino acid radical;  
10  $d$  is an integer in the range 0 to 12 inclusive;  
11  $m$  is an integer in the range 0 to 6 inclusive; and  
12  $n$  is an integer in the range 0 to 6 inclusive.
- 13 100. A compound of formula 99, wherein the compound is complexed with a  
14 radionuclide.
- 15 101. The compound of claim 99, wherein the compound is complexed with a  
16 radionuclide, wherein the radionuclide is technetium or rhenium.
- 17 102. The compound of claim 99, wherein  $m$  is 1.
- 18 103. The compound of claim 99, wherein  $n$  is 1.
- 19 104. The compound of claim 99, wherein  $m$  is 1; and  $n$  is 1.
- 20 105. The compound of claim 99, wherein  $\text{R}$  is absent.
- 21 106. The compound of claim 99, wherein  $\text{R}_2$  is a lipophilic group.
- 22 107. The compound of claim 99, wherein  $\text{R}_2$  is an ether, aralkyl, or alkylaryl.
- 23 108. The compound of claim 99, wherein  $\text{R}_3$  is a moiety comprising an anionic Lewis  
24 base.
- 25 109. The compound of claim 99, wherein  $\text{R}_3$  is a carboxylate, thiolate, or phenolate.
- 26 110. The compound of claim 99, wherein  $\text{R}$  is absent; and  $\text{R}_2$  is an ether, aralkyl, or  
27 alkylaryl.
- 28 111. The compound of claim 99, wherein  $\text{R}$  is absent;  $\text{R}_2$  is an ether, aralkyl, or alkylaryl;

- 1           and R<sub>3</sub> is a carboxylate, thiolate, or phenolate.
- 2   112.   The compound of claim 99, wherein m is 1; n is 1; R is absent; and R<sub>2</sub> is an ether,  
3           aralkyl, or alkylaryl.
- 4   113.   The compound of claim 99, wherein m is 1; n is 1; R is absent; R<sub>2</sub> is an ether,  
5           aralkyl, or alkylaryl; and R<sub>3</sub> is a carboxylate, thiolate, or phenolate.
- 6   114.   The compound of claim 99, wherein R<sub>1</sub> is -(CH<sub>2</sub>)<sub>d</sub>-R<sub>80</sub>.
- 7   115.   The compound of claim 99, wherein m is 1; n is 1; R is absent; R<sub>2</sub> is an ether,  
8           aralkyl, or alkylaryl; and R<sub>1</sub> is -(CH<sub>2</sub>)<sub>d</sub>-R<sub>80</sub>.
- 9   116.   The compound of claim 99, wherein m is 1; n is 1; R is absent; R<sub>2</sub> is an ether,  
10          aralkyl, or alkylaryl; R<sub>3</sub> is a carboxylate, thiolate, or phenolate; and R<sub>1</sub> is  
11          -(CH<sub>2</sub>)<sub>d</sub>-R<sub>80</sub>.
- 12   117.   The compound of claim 99, wherein m is 1; n is 1; R is absent; R<sub>2</sub> is an ether,  
13          aralkyl, or alkylaryl; and R<sub>1</sub> is -(CH<sub>2</sub>)<sub>d</sub>-R<sub>80</sub>; wherein the compound is complexed  
14          with a radionuclide.
- 15   118.   The compound of claim 99, wherein m is 1; n is 1; R is absent; R<sub>2</sub> is an ether,  
16          aralkyl, or alkylaryl; R<sub>3</sub> is a carboxylate, thiolate, or phenolate; and R<sub>1</sub> is  
17          -(CH<sub>2</sub>)<sub>d</sub>-R<sub>80</sub>; wherein the compound is complexed with a radionuclide.
- 18   119.   The compound of claim 99, wherein m is 1; n is 1; R is absent; R<sub>2</sub> is an ether,  
19          aralkyl, or alkylaryl; and R<sub>1</sub> is -(CH<sub>2</sub>)<sub>d</sub>-R<sub>80</sub>; wherein the compound is complexed  
20          with a radionuclide, wherein the radionuclide is technetium or rhenium.
- 21   120.   The compound of claim 99, wherein m is 1; n is 1; R is absent; R<sub>2</sub> is an ether,  
22          aralkyl, or alkylaryl; R<sub>3</sub> is a carboxylate, thiolate, or phenolate; and R<sub>1</sub> is  
23          -(CH<sub>2</sub>)<sub>d</sub>-R<sub>80</sub>; wherein the compound is complexed with a radionuclide, wherein the  
24          radionuclide is technetium or rhenium.
- 25   121.   The compound of claim 99, wherein R<sub>1</sub> is an amino acid radical.
- 26   122.   The compound of claim 99, wherein R<sub>1</sub> is an amino acid radical; m is 1; and n is 1.
- 27   123.   The compound of claim 99, wherein R<sub>1</sub> is an amino acid radical; m is 1; n is 1; R is  
28          absent; and R<sub>2</sub> is an ether, aralkyl, or alkylaryl.
- 29   124.   The compound of claim 99, wherein R<sub>1</sub> is an amino acid radical; m is 1; n is 1; R is

- 1 absent; R<sub>2</sub> is an ether, aralkyl, or alkylaryl; and R<sub>3</sub> is a carboxylate, thiolate, or  
2 phenolate.
- 3 125. The compound of claim 99, wherein R<sub>1</sub> is an amino acid radical; m is 1; n is 1; R is  
4 absent; and R<sub>2</sub> is an ether, aralkyl, or alkylaryl; wherein the compound is complexed  
5 with a radionuclide.
- 6 126. The compound of claim 99, wherein R<sub>1</sub> is an amino acid radical; m is 1; n is 1; R is  
7 absent; R<sub>2</sub> is an ether, aralkyl, or alkylaryl; and R<sub>3</sub> is a carboxylate, thiolate, or  
8 phenolate; wherein the compound is complexed with a radionuclide.
- 9 127. The compound of claim 99, wherein R<sub>1</sub> is an amino acid radical; m is 1; n is 1; R is  
10 absent; and R<sub>2</sub> is an ether, aralkyl, or alkylaryl; wherein the compound is complexed  
11 with a radionuclide, wherein the radionuclide is technetium or rhenium.
- 12 128. The compound of claim 99, wherein R<sub>1</sub> is an amino acid radical; m is 1; n is 1; R is  
13 absent; R<sub>2</sub> is an ether, aralkyl, or alkylaryl; and R<sub>3</sub> is a carboxylate, thiolate, or  
14 phenolate; wherein the compound is complexed with a radionuclide, wherein the  
15 radionuclide is technetium or rhenium.
- 16 129. The compound of claim 99, wherein the amino acid radical is  
17 -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH(NH<sub>2</sub>)CO<sub>2</sub>H.
- 18 130. The compound of claim 99, wherein the amino acid radical is  
19 -CH(CO<sub>2</sub>H)CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>.
- 20 131. The compound of claim 99, wherein the amino acid radical is -CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>H.
- 21 132. The compound of claim 99, wherein the amino acid radical is  
22 -CH(CO<sub>2</sub>H)(CH<sub>2</sub>)<sub>x</sub>CH(NH<sub>2</sub>)CO<sub>2</sub>H, wherein x is an integer from 3 to 9 inclusively.
- 23 133. A formulation, comprising a compound according to any of claims 1-132; and a  
24 pharmaceutically acceptable excipient.
- 25 134. A method of imaging a region in a patient, comprising the steps of: administering to  
26 a patient a diagnostically effective amount of a compound of claim 2, 3, 5, 6, 17, 18,  
27 22, 23, 29, 30, 32, 33, 43, 44, 48, 49, 55, 56, 59, 60, 62, 63, 72, 73, 75, 76, 78, 79,  
28 92-95, 97, 98, 100, 101, 117-120, or 125-128; and obtaining an image of said region  
29 of said patient.

- 1    135.    The method of claim 134, wherein said region of said patient is the head or thorax.
- 2    136.    A method of preparing a peptide conjugate incorporating a compound of claim 19-
- 3           27, 45-53 or 121-132, wherein the peptide conjugate is prepared using solid phase
- 4           synthetic techniques.